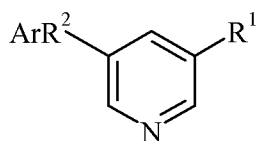


# Amendments to the Claims

1. (Currently Amended) A method for treating pain or anxiety [a disorder remedied by antagonism of mGlu5 receptors] in a patient which comprises administering to a patient in need thereof an effective amount of a compound of formula 1:



(1)

wherein

Ar is phenyl or naphthyl each of which may be substituted by one or more  $\text{C}_1$ - $\text{C}_4$  alkyl,  $\text{C}_1$ - $\text{C}_4$  alkoxy,  $\text{C}_1$ - $\text{C}_5$  acyl, halo, amino, nitro, cyano, hydroxy,  $\text{C}_1$ - $\text{C}_5$  acylamino,  $\text{C}_1$ - $\text{C}_4$  alkylsulfonylamino, mono-, di- or trifluorinated  $\text{C}_1$ - $\text{C}_3$  alkyl, substituents which may be the same or different and may bear a  $\text{CONH}_2$ ,  $\text{CONHCH}_3$ ,  $\text{CON}(\text{CH}_3)_2$ ,  $\text{CO}_2\text{H}$ ,  $\text{CO}_2\text{CH}_3$ ,  $\text{OCF}_3$ ,  $\text{CH}_2\text{NHCOCH}_3$ ,  $\text{CH}_2\text{NH}_2$ ,  $\text{CH}_2\text{N}(\text{CH}_3)_2$ ,  $\text{CH}_2\text{CN}$ ,  $\text{CH}_2\text{OH}$ ,  $\text{CH}_2\text{NHSO}_2\text{CH}_3$ ,  $\text{CH}_2\text{N}(\text{CH}_3)(\text{CH}_2)_2\text{CN}$ ,  $\text{CH}_2\text{N}(\text{CH}_3)\text{CH}(\text{CH}_3)_2$ ,  $\text{CH}_2\text{NHCH}(\text{CH}_3)_2$ ,  $\text{CH}_2\text{NH}(\text{CH}_2)_2\text{CH}_3$ ,  $\text{CH}_2\text{NHCO}_2\text{R}^4$ ,  $\text{CH}_2\text{NHCH}_2\text{CH}_3$ ,  $\text{CH}_2\text{NHCH}_3$ ,  $\text{NHCOC}(\text{CH}_3)_2$ , or  $\text{N}(\text{S}(\text{O})_2\text{CH}_3)_2$  substituent;

$\text{R}^1$  is hydrogen, halo,  $\text{R}^4$ ,  $\text{CN}$ ,  $\text{C}(\text{NOH})\text{R}^3$ ,  $\text{C}(\text{NO}-\text{R}^4)\text{R}^3$ ,  $(\text{CH})_2\text{CO}_2\text{R}^4$ ,  $(\text{CH}_2)_n\text{OR}^3$ ,  $\text{COR}^3$ ,  $\text{CF}_3$ ,  $\text{SR}^4$ ,  $\text{S}(\text{O})\text{R}^4$ ,  $\text{S}(\text{O})_2\text{R}^4$ ,  $\text{COCH}_2\text{CO}_2\text{R}^3$ ,  $\text{NHSO}_2\text{R}^4$ ,  $\text{NHCOR}^3$ ,  $\text{C}(\text{NOR}^3)\text{NH}_2$ ,  $\text{CH}_2\text{OCOR}^3$ ,  $(\text{CH}_2)_n\text{NH}_2$ ,  $\text{CON}(\text{CH}_3)_2$ ,  $(\text{CH}_2)_n\text{NHCO}_2\text{R}^4$ ,  $\text{CO}_2\text{R}^3$ ,  $\text{CONH}_2$ ,  $\text{CSNH}_2$ ,  $\text{C}(\text{NH})\text{NHOR}^3$ ,  $(\text{CH}_2)_n\text{N}(\text{CH}_3)_2$ , or  $\text{CONHNHCOR}^3$ ;

$\text{R}^2$  is 1,2-ethenediyl or 1,2-ethynediyl;

$\text{R}^3$  is hydrogen or  $\text{C}_1$ - $\text{C}_4$  alkyl;

$\text{R}^4$  is  $\text{C}_1$ - $\text{C}_4$  alkyl; and

n is 0, 1, 2, 3 or 4;

or a pharmaceutically acceptable salt thereof; or an N-oxide thereof.

2. (Original) A method as claimed in Claim 1 wherein

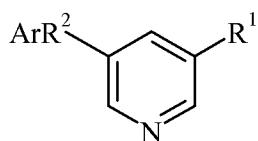
Ar is C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>5</sub>acyl, halo, amino, nitro, cyano, hydroxy, C<sub>1</sub>-C<sub>5</sub> acylamino, C<sub>1</sub>-C<sub>4</sub> alkylsulfonylamino or mono-, di- or trifluorinated C<sub>1</sub>-C<sub>3</sub> alkyl; and

R<sup>1</sup> is hydrogen, halo, R<sup>4</sup>, CN, C(NOH)R<sup>3</sup>, C(NOR<sup>4</sup>)R<sup>3</sup>, (CH)<sub>2</sub>CO<sub>2</sub>-R<sup>4</sup>, OR<sup>3</sup>, COR<sup>3</sup> or CF<sub>3</sub>.

3. (Cancelled)

4. (Currently amended) The method of any one of Claims 1[-3] or 2 wherein the patient is a human.

5. (Original) A compound of formula 1:



(1)

wherein

Ar is phenyl or naphthyl each of which may be substituted by one or more C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>5</sub> acyl, halo, amino, nitro, cyano, hydroxy, C<sub>1</sub>-C<sub>5</sub> acylamino, C<sub>1</sub>-C<sub>4</sub> alkylsulfonylamino, mono-, di- or trifluorinated C<sub>1</sub>-C<sub>3</sub> alkyl, substituents which may be the same or different and may bear a CONH<sub>2</sub>, CONHCH<sub>3</sub>, CON(CH<sub>3</sub>)<sub>2</sub>, CO<sub>2</sub>H, CO<sub>2</sub>CH<sub>3</sub>, OCF<sub>3</sub>, CH<sub>2</sub>NHCOCH<sub>3</sub>, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>CN, CH<sub>2</sub>OH, CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>N(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>2</sub>CN, CH<sub>2</sub>N(CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>NHCH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>NH(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>NHCO<sub>2</sub>R<sup>4</sup>, CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>NHCH<sub>3</sub>, NHCOC(CH<sub>3</sub>)<sub>2</sub>, or N(S(O)<sub>2</sub>CH<sub>3</sub>)<sub>2</sub> substituent;

R<sup>1</sup> is hydrogen, halo, R<sup>4</sup>, CN, C(NOH)R<sup>3</sup>, C(NO-R<sup>4</sup>)R<sup>3</sup>, (CH)<sub>2</sub>CO<sub>2</sub>R<sup>4</sup>, (CH<sub>2</sub>)<sub>n</sub>OR<sup>3</sup>, COR<sup>3</sup>, CF<sub>3</sub>, SR<sup>4</sup>, S(O)R<sup>4</sup>, S(O)<sub>2</sub>R<sup>4</sup>, COCH<sub>2</sub>CO<sub>2</sub>R<sup>3</sup>, NHSO<sub>2</sub>R<sup>4</sup>, NHCOR<sup>3</sup>, C(NOR<sup>3</sup>)NH<sub>2</sub>, CH<sub>2</sub>OCOR<sup>3</sup>, (CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub>, CON(CH<sub>3</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>NHCO<sub>2</sub>R<sup>4</sup>, CO<sub>2</sub>R<sup>3</sup>, CONH<sub>2</sub>, CSNH<sub>2</sub>, C(NH)NHOR<sup>3</sup>, (CH<sub>2</sub>)<sub>n</sub>N(CH<sub>3</sub>)<sub>2</sub>, or CONHNHCOR<sup>3</sup>;

R<sup>2</sup> is 1,2-ethenediyl or 1,2-ethynediyl;

R<sup>3</sup> is hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub> alkyl; and

n is 0, 1, 2, 3 or 4;

or a pharmaceutically acceptable salt thereof; or an N-oxide thereof; provided that the compound is other than 5-phenylethynyl-nictinonitrile.

6. (Original) The compound of Claim 5 wherein n is 0 or 1.

7. (Original) The compound of any one of Claims 5 or 6 wherein Ar is phenyl substituted by one or more halo, C<sub>1</sub>-C<sub>4</sub> alkyl, CN, C<sub>1</sub>-C<sub>4</sub> alkoxy, CF<sub>3</sub>, NO<sub>2</sub>, NH<sub>2</sub>, OH, COCH<sub>3</sub>, substituents which may be the same or different and may bear a CONH<sub>2</sub>, CONHCH<sub>3</sub>, CON(CH<sub>3</sub>)<sub>2</sub>, CO<sub>2</sub>H, CO<sub>2</sub>CH<sub>3</sub>, OCF<sub>3</sub>, CH<sub>2</sub>NHCOCH<sub>3</sub>, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>CN, CH<sub>2</sub>OH, CH<sub>2</sub>NHSO<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>N(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>2</sub> CN, CH<sub>2</sub>N(CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>NHCH(CH<sub>3</sub>)<sub>2</sub>, CH<sub>2</sub>NH(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>3</sub>, CH<sub>2</sub>NHCH<sub>3</sub> or NHCOC(CH<sub>3</sub>)<sub>2</sub> substituent.

8. (Currently amended) The compound of any one of Claims 5[-7] or 6 wherein halo is fluoro, iodo, choro or bromo; alkyl is methyl, ethyl, propyl, isopropyl or isobutyl; and alkoxy is methoxy.

9. (Currently amended) The compound of any one of Claims 5[-8] or 6 wherein Ar is 2-chlorophenyl, 3-chlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 3,4-dimethylphenyl, 3,5-dimethylphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 2-cyanophenyl, 3-cyanophenyl, 4-cyanophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 4-chlorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 3,4,5-trifluorophenyl, 3-bromophenyl, 3-nitrophenyl, 3-trifluoromethylphenyl, 3-aminophenyl, 3-chloro-4-fluorophenyl, 3-hydroxyphenyl, 3-acetylphenyl, 5-chloro-2-methoxyphenyl, 3-chloro-4-methoxyphenyl, 3-hydroxy-4-fluorophenyl, 3-methoxy-4-fluorophenyl, 3-ethoxy-4-fluorophenyl, 3-isopropoxy-4-fluorophenyl, 3-isopropylphenyl, 3-ethylphenyl, 3-methyl-4-fluorophenyl, 3-trifluoromethyl-4-fluorophenyl, 3-cyano-4-fluorophenyl, 3-amino-4-fluorophenyl, 3-trifluoromethyl-4-fluorophenyl, 3-chloro-4-fluorophenyl,

3-nitro-4-fluorophenyl, 3-aminocarbonyl-4-fluorophenyl,  
3-N-methylaminocarbonyl-4-fluorophenyl,  
3-N,N-dimethylaminocarbonyl-4-fluorophenyl, 3-carboxyl-4-fluorophenyl,  
3-methoxycarbonyl-4-fluorophenyl, 3-acetylaminomethyl-4-fluorophenyl,  
3-methylsulfonylaminomethyl-4-fluorophenyl,  
3-pivaloylaminomethyl-4-fluorophenyl, 3-trifluoromethoxyphenyl,  
3-aminomethyl-4-fluorophenyl, 3-dimethylaminomethyl-4-fluorophenyl,  
3-cyanomethyl-4-fluorophenyl, 4-fluoro-3-hydroxymethylphenyl,  
3- {[ (2-cyanoethyl)-methylamino]-methyl }-4-fluorophenyl,  
4-fluoro-3-[(isopropylmethylamino)-methyl]phenyl,  
4-fluoro-3-isopropylaminomethylphenyl, 4-fluoro-3-propylaminomethylphenyl,  
3-ethylaminomethyl-4-fluorophenyl, 4-fluoro-3-methyl aminomethylphenyl,  
3-isobutyrylamino-4-fluorophenyl or 3-aminophenyl.

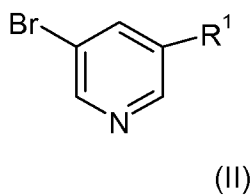
10. (Currently amended) The compound of any one of Claims 5[-9] or 6 wherein R<sup>1</sup> is hydrogen, bromo, iodo, fluoro, chloro, C(NO<sub>2</sub>)R<sup>3</sup>, C(NO-R<sup>4</sup>)R<sup>3</sup>, methyl, CN, CH<sub>2</sub>CO<sub>2</sub>R<sup>4</sup>, (CH<sub>2</sub>)<sub>n</sub>OR<sup>3</sup>, COR<sup>3</sup>, CF<sub>3</sub>, SR<sup>4</sup>, S(O)R<sup>4</sup>, S(O)<sub>2</sub>R<sup>4</sup>, COCH<sub>2</sub>CO<sub>2</sub>R<sup>3</sup>, NHS(O)<sub>2</sub>R<sup>3</sup>, NHCOR<sup>3</sup>, CH<sub>2</sub>OC(O)R<sup>3</sup>, (CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub>, CON(CH<sub>3</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>NHCO<sub>2</sub>R<sup>4</sup>, CO<sub>2</sub>R<sup>3</sup>, CONH<sub>2</sub>, CSNH<sub>2</sub>, C(NH)NHOR<sup>3</sup>, (CH<sub>2</sub>)<sub>n</sub>N(CH<sub>3</sub>)<sub>2</sub> or CONHNHCOR<sup>3</sup>.

11. (Currently amended) The compound of [any one of Claims 5-10] Claim 10 wherein R<sup>3</sup> is hydrogen, methyl, ethyl or *t*-butyl.

12. (Original) The compound of Claim 5 wherein  
Ar is phenyl or naphthyl each of which may be substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>5</sub> acyl, halo, amino, nitro, cyano, hydroxy, C<sub>1</sub>-C<sub>5</sub> acylamino, C<sub>1</sub>-C<sub>4</sub> alkylsulfonylamino or mono-, di- or trifluorinated C<sub>1</sub>-C<sub>3</sub> alkyl; and  
R<sup>1</sup> is hydrogen, halo, R<sup>4</sup>, CN, C(NO<sub>2</sub>)R<sup>3</sup>, C(NOR<sup>4</sup>)R<sup>3</sup>  
(CH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>R<sup>4</sup>, OR<sup>3</sup>, COR<sup>3</sup> or CF<sub>3</sub>.
13. (Currently amended) The compound of formula [I] 1 as claimed in [any one of Claims 5-12] Claim 12 wherein R<sup>1</sup> is CN, iodo, chloro, methyl or COR<sup>3</sup>.
14. (Currently amended) The compound of formula [I] 1 as claimed in [any one of Claims 5-13] Claim 12 wherein R<sup>1</sup> is CN.
15. (Currently amended) The compound of formula [I] 1 as claimed in [any one of Claims 5-14] Claim 12 wherein R<sup>2</sup> is 1,2-ethynediyl.
16. (Currently amended) The compound of formula 1 as claimed in [any one of Claims 5-15] Claim 12 wherein C<sub>1</sub>-C<sub>4</sub> alkyl is methyl.
17. (Currently amended) The compound of formula 1 as claimed in [any one of Claims 5-16] Claim 12 wherein R<sup>3</sup> is methyl.
18. (Currently amended) A compound of formula 1 as claimed in [any one of a Claims 5-16] Claim 12 wherein R<sup>3</sup> is hydrogen.
19. (Currently amended) The compound of [any one of Claims 5-18] Claim 12 wherein substituted Ar is substituted phenyl.
20. (Currently amended) The compound of [any one of Claims 5-6, 8 or 10-18] Claim 12 wherein Ar is phenyl.
21. (Original) A compound of claim 5 which is:  
5-(4-Fluorophenylethynyl)-nicotinonitrile, 5-(3-Cyanophenylethynyl)-nicotinonitrile or 5-(3,4-difluorophenylethynyl)-nicotinonitrile.

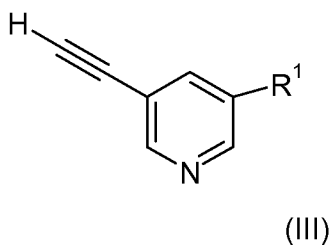
22. (Currently amended) A process for preparing a compound of formula 1 (or a pharmaceutically acceptable salt thereof) as provided in [any one of the above Claims 5-21] Claim 5 which comprises:

- (a) for a compound of formula 1 in which  $R^2$  is 1,2-ethenediyl, reacting with a compound of formula II



with a compound of formula  $Ar-CHCH_2$  in a Heck coupling;

- (b) for a compound of formula 1 in which  $R^2$  is alkynyl, reacting with a compound of formula III



in a Sonogashira coupling with a compound of formula  $Ar-I$  or  $Ar-Br$  in a suitable solvent;

whereafter, for any of the above procedures, when a pharmaceutically acceptable salt of a compound of formula 1 is required, it is obtained by reacting the basic form of such a compound of formula 1 with an acid affording a physiologically acceptable counterion, or, for a compound of formula 1 which bears an acidic moiety, reacting the acidic form of such a compound of formula 1 with a base which affords a pharmaceutically acceptable cation, or by any other conventional procedure; and wherein, unless more specifically described, the value of  $R^1$ ,  $Ar$  and  $R^2$  are as defined in Claim 5.

23. (Currently amended) A pharmaceutical composition comprising in association with a pharmaceutically acceptable carrier, diluent or excipient, a compound of formula 1 (or a pharmaceutically acceptable salt thereof) as provided in Claim 5 [any one of the above Claims 5-21].

24. (Cancelled)

25. (Cancelled)